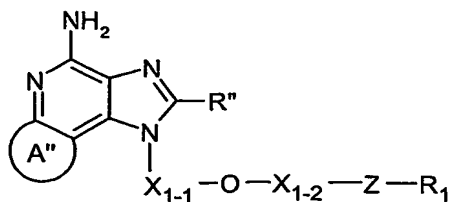


## WHAT IS CLAIMED IS:

1. A compound of the Formula I:



I

wherein:

$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

$Z$  is selected from the group consisting of  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

$C_{2-10}$  alkenyl,

$C_{2-10}$  alkynyl,

aryl,

aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylarylenyl,

heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl,

heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl,

heterocyclyl,

heterocyclyl- $C_{1-10}$  alkylenyl, and

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,

C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl substituted by one or more substituents independently selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl, aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino, C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl, C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a carbon atom;

A" is a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R<sub>A</sub> groups;

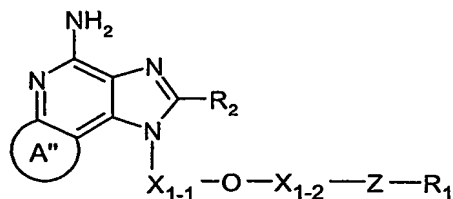
each R is independently selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

each R<sub>A</sub> is independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl; and

R" is hydrogen or a non-interfering substituent; or a pharmaceutically acceptable salt thereof.

2. A compound of the Formula Ia:



Ia

wherein:

X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of

C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of -S-, -S(O)-, and -S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of:

- 5 C<sub>1-10</sub> alkyl,
- C<sub>2-10</sub> alkenyl,
- C<sub>2-10</sub> alkynyl,
- aryl,
- aryl-C<sub>1-10</sub> alkylenyl,
- 10 aryloxy-C<sub>1-10</sub> alkylenyl,
- C<sub>1-10</sub> alkylarylenyl,
- heteroaryl,
- heteroaryl-C<sub>1-10</sub> alkylenyl,
- heteroaryloxy-C<sub>1-10</sub> alkylenyl,
- 15 C<sub>1-10</sub> alkylheteroarylenyl,
- heterocyclyl,
- heterocyclyl-C<sub>1-10</sub> alkylenyl, and
- C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,
- aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,
- 20 heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,
- C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl
- substituted by one or more substituents independently selected from the
- group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,
- halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,
- 25 aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,
- C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub>
- alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,
- C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a
- carbon atom;

- 30 A" is a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or

substituted by one or more R groups, or a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R<sub>A</sub> groups;

each R is independently selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

each R<sub>A</sub> is independently selected from the group consisting of halogen, hydroxy, alkyl, alkenyl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>;

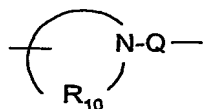
R<sub>2</sub> is selected from the group consisting of

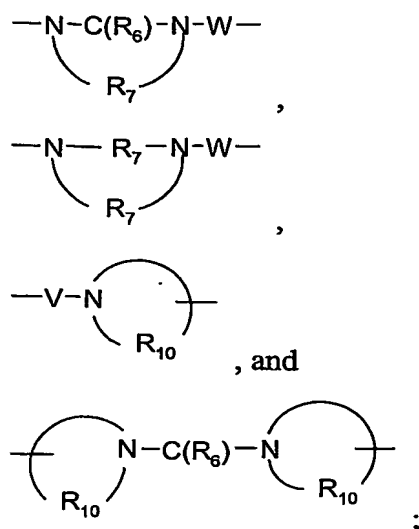
-R<sub>4</sub>,  
-X-R<sub>4</sub>,  
-X-Y-R<sub>4</sub>, and  
-X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

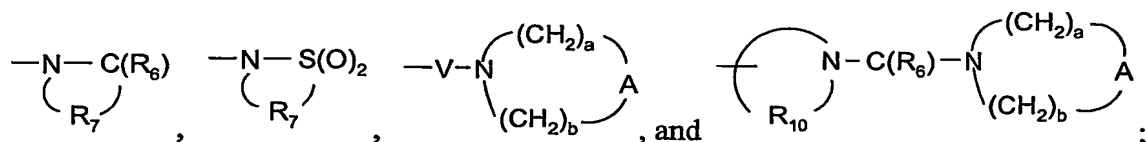
-O-,  
-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
-O-C(R<sub>6</sub>)-,  
-O-C(O)-O-,  
-N(R<sub>8</sub>)-Q-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





5  $R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted  
 10 or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
 15 oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

20  $R_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

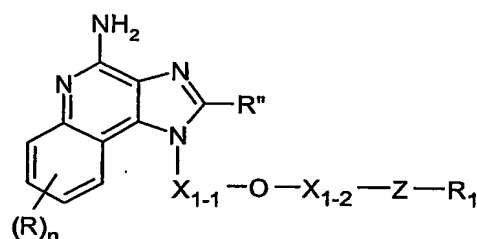
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

3. A compound of the Formula II:



II

wherein:

X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of -S-, -S(O)-, and -S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of:

C<sub>1-10</sub> alkyl,  
 C<sub>2-10</sub> alkenyl,  
 C<sub>2-10</sub> alkynyl,  
 aryl,  
 aryl-C<sub>1-10</sub> alkylenyl,  
 aryloxy-C<sub>1-10</sub> alkylenyl,  
 C<sub>1-10</sub> alkylarylenyl,  
 heteroaryl,

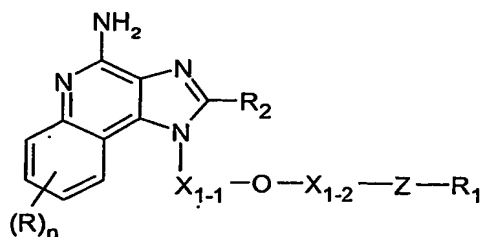
heteroaryl-C<sub>1-10</sub> alkylenyl,  
heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl,  
heterocyclyl,  
heterocyclyl-C<sub>1-10</sub> alkylenyl, and  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,  
aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,  
heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl  
substituted by one or more substituents independently selected from the  
group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,  
halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub>  
alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and  
trifluoromethyl;

n is 0 to 4; and

R" is hydrogen or a non-interfering substituent;  
or a pharmaceutically acceptable salt thereof.

4. A compound of the Formula IIa:



IIa

wherein:

$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,  
 $C_{2-10}$  alkenyl,  
 $C_{2-10}$  alkynyl,  
 aryl,  
 aryl- $C_{1-10}$  alkylenyl,  
 aryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylarylenyl,  
 heteroaryl,  
 heteroaryl- $C_{1-10}$  alkylenyl,  
 heteroaryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylheteroarylenyl,  
 heterocyclyl,  
 heterocyclyl- $C_{1-10}$  alkylenyl, and  
 $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,  
 aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,  
 heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl  
 substituted by one or more substituents independently selected from the  
 group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,  
 halo- $C_{1-10}$  alkyl, halo- $C_{1-10}$  alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
 aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
 $C_{1-10}$  alkylamino, di( $C_{1-10}$  alkyl)amino, and in the case of  $C_{1-10}$  alkyl,  $C_{2-10}$   
 alkenyl,  $C_{2-10}$  alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
 $C_{1-10}$  alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
 carbon atom;



R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

n is 0 to 4;

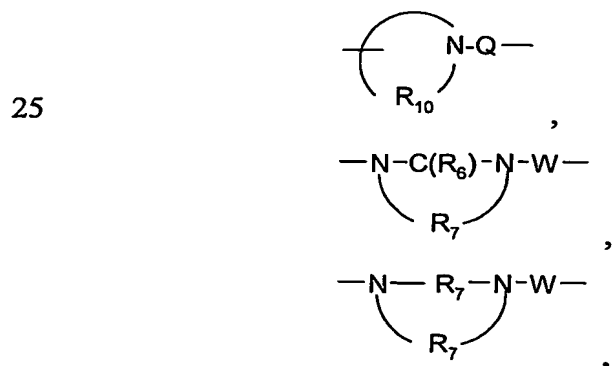
R<sub>2</sub> is selected from the group consisting of

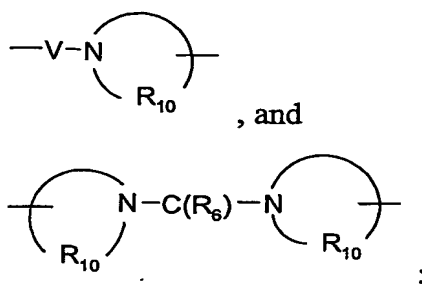
- 5                    -R<sub>4</sub>,  
                      -X-R<sub>4</sub>,  
                      -X-Y-R<sub>4</sub>, and  
                      -X-R<sub>5</sub>;

10                  X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

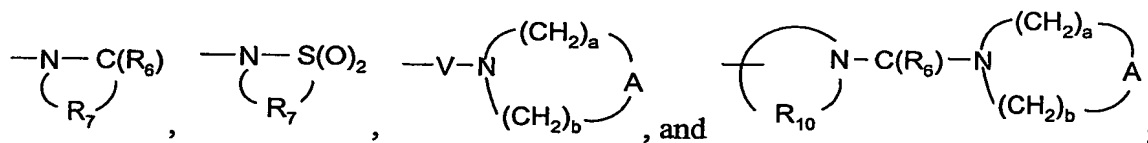
- 15                   -O-,  
                      -S(O)<sub>0-2</sub>-,  
                      -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-,  
                      -C(R<sub>6</sub>)-O-,  
                      -O-C(R<sub>6</sub>)-,  
 20                   -O-C(O)-O-,  
                      -N(R<sub>8</sub>)-Q-,  
                      -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,

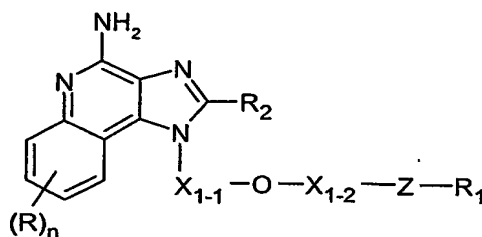
$-\text{N}(\text{R}_8)-\text{C}(\text{R}_6)-$ , and  $-\text{S}(\text{O})_2-$ ;

W is selected from the group consisting of a bond,  $-\text{C}(\text{O})-$ , and  $-\text{S}(\text{O})_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

5

5. A compound of the Formula IIa:



IIa

wherein:

10  $\text{X}_{1-1}$  and  $\text{X}_{1-2}$  are independently selected from the group consisting of  $\text{C}_{1-10}$  alkylene,  $\text{C}_{4-10}$  alkenylene, and  $\text{C}_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of  $-\text{S}-$ ,  $-\text{S}(\text{O})-$ , and  $-\text{S}(\text{O})_2-$ ;

$\text{R}_1$  is selected from the group consisting of:

- 15  $\text{C}_{1-10}$  alkyl,  
 $\text{C}_{2-10}$  alkenyl,  
 $\text{C}_{2-10}$  alkynyl,  
aryl,  
aryl- $\text{C}_{1-10}$  alkylenyl,  
20 aryloxy- $\text{C}_{1-10}$  alkylenyl,  
 $\text{C}_{1-10}$  alkylarylenyl,  
heteroaryl,  
heteroaryl- $\text{C}_{1-10}$  alkylenyl,  
heteroaryloxy- $\text{C}_{1-10}$  alkylenyl,  
25  $\text{C}_{1-10}$  alkylheteroarylenyl,  
heterocyclyl,  
heterocyclyl- $\text{C}_{1-10}$  alkylenyl, and

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,  
 aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,  
 heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl  
 substituted by one or more substituents independently selected from the  
 group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,  
 halo- $C_{1-10}$  alkyl, halo- $C_{1-10}$  alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
 aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
 $C_{1-10}$  alkylamino, di( $C_{1-10}$  alkyl)amino, and in the case of  $C_{1-10}$  alkyl,  $C_{2-10}$   
 alkenyl,  $C_{2-10}$  alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
 $C_{1-10}$  alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
 carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

n is 0 to 4;

$R_2$  is selected from the group consisting of

- $R_4$ ,

-X- $R_4$ ,

-X-Y- $R_4$ , and

-X- $R_5$ ;

X is selected from the group consisting of alkylene, alkenylene, alkynylene,  
 arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and  
 alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene,  
 or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

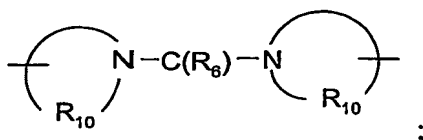
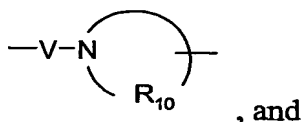
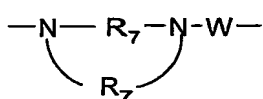
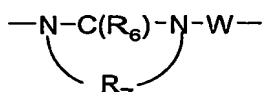
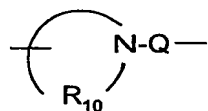
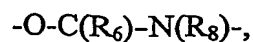
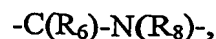
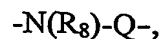
-S(O)<sub>2</sub>-N( $R_8$ )-,

-C( $R_6$ )-,

-C( $R_6$ )-O-,

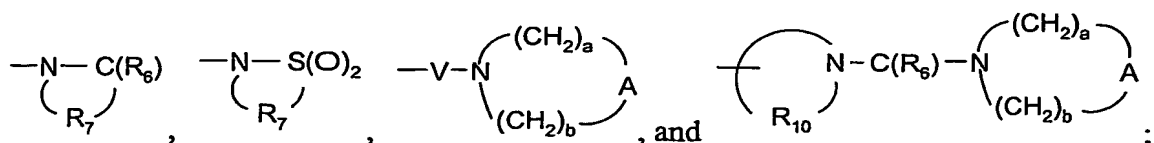
-O-C( $R_6$ )-,

-O-C(O)-O-,



$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N( $R_4$ )-;

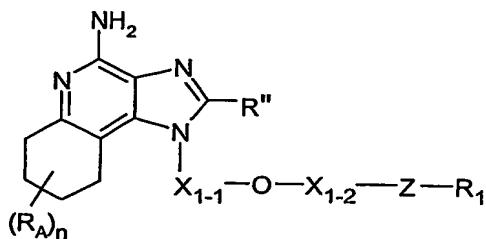
Q is selected from the group consisting of a bond, -C( $R_6$ )-, -C( $R_6$ )-C( $R_6$ )-, -S(O)<sub>2</sub>-, -C( $R_6$ )-N( $R_8$ )-W-, -S(O)<sub>2</sub>-N( $R_8$ )-, -C( $R_6$ )-O-, and -C( $R_6$ )-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C( $R_6$ )-, -O-C( $R_6$ )-, -N( $R_8$ )-C( $R_6$ )-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b$  is  $\leq 7$ ; or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula III:



III

wherein:

$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of -S-, -S(O)-, and -S(O)<sub>2</sub>-;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

$C_{2-10}$  alkenyl,

C<sub>2-10</sub> alkynyl,  
aryl,  
aryl-C<sub>1-10</sub> alkylenyl,  
aryloxy-C<sub>1-10</sub> alkylenyl,  
5 C<sub>1-10</sub> alkylarylenyl,  
heteroaryl,  
heteroaryl-C<sub>1-10</sub> alkylenyl,  
heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl,  
10 heterocyclyl,  
heterocyclyl-C<sub>1-10</sub> alkylenyl, and  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,  
aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,  
heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
15 C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl  
substituted by one or more substituents independently selected from the  
group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,  
halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
20 C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl,  
C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
carbon atom;

R<sub>A</sub> is selected from the group consisting of:

25 halogen,  
hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
30 alkoxy,  
alkylthio, and



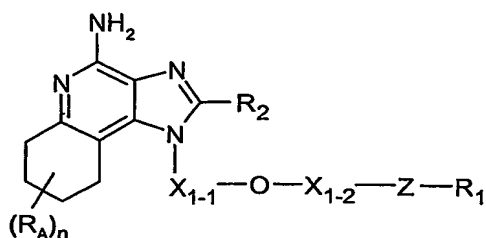
n is 0 to 4; and

R" is hydrogen or a non-interfering substituent;

or a pharmaceutically acceptable salt thereof.

5

7. A compound of the formula IIIa:



IIIa

wherein:

10  $X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

$R_1$  is selected from the group consisting of:

- 15  $C_{1-10}$  alkyl,  
 $C_{2-10}$  alkenyl,  
 $C_{2-10}$  alkynyl,  
aryl,  
aryl- $C_{1-10}$  alkylenyl,  
20 aryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylarylenyl,  
heteroaryl,  
heteroaryl- $C_{1-10}$  alkylenyl,  
heteroaryloxy- $C_{1-10}$  alkylenyl,  
25  $C_{1-10}$  alkylheteroarylenyl,  
heterocyclyl,  
heterocyclyl- $C_{1-10}$  alkylenyl, and  
 $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,



aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,  
 heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
 C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl  
 substituted by one or more substituents independently selected from the  
 group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,  
 halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
 aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
 C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub>  
 alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
 C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
 carbon atom;

R<sub>A</sub> is selected from the group consisting of:

halogen,  
 hydroxy,  
 alkyl,  
 alkenyl,  
 haloalkyl,  
 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

n is 0 to 4;

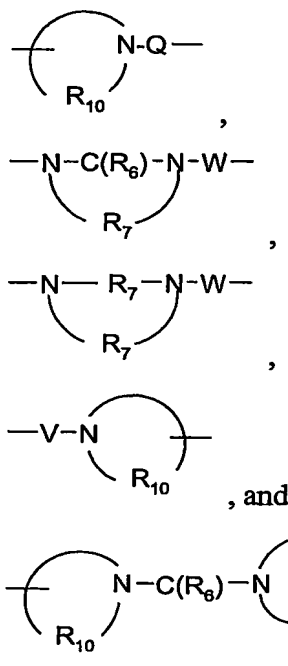
R<sub>2</sub> is selected from the group consisting of

-R<sub>4</sub>,  
 -X-R<sub>4</sub>,  
 -X-Y-R<sub>4</sub>, and  
 -X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene,  
 arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and  
 alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene,  
 or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

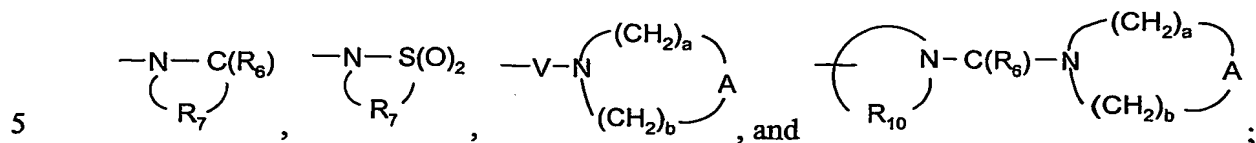
-O-,  
 -S(O)<sub>0-2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -O-C(R<sub>6</sub>)-,  
 -O-C(O)-O-,  
 -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy,

heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

10 R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

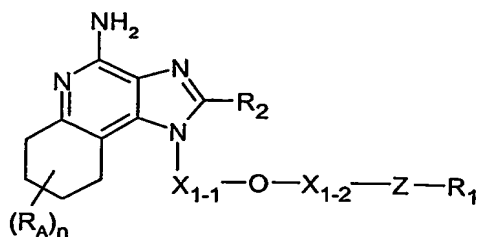
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b$  is  $\leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

8. A compound of the Formula IIIa:



IIIa

25            wherein:

$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

$C_{2-10}$  alkenyl,

$C_{2-10}$  alkynyl,

aryl,

aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylarylenyl,

heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl,

heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl,

heterocyclyl,

heterocyclyl- $C_{1-10}$  alkylenyl, and

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl

substituted by one or more substituents independently selected from the

group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,

halo- $C_{1-10}$  alkyl, halo- $C_{1-10}$  alkoxy, halogen, nitro, hydroxy, cyano, aryl,

aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,

$C_{1-10}$  alkylamino, di( $C_{1-10}$  alkyl)amino, and in the case of  $C_{1-10}$  alkyl,  $C_{2-10}$

alkenyl,  $C_{2-10}$  alkynyl, and heterocyclyl, oxo; wherein heteroaryl,

$C_{1-10}$  alkylheteroarylenyl, and heterocyclyl are attached to Z through a

carbon atom;

$R_A$  is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

n is 0 to 4;

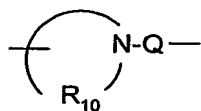
R<sub>2</sub> is selected from the group consisting of

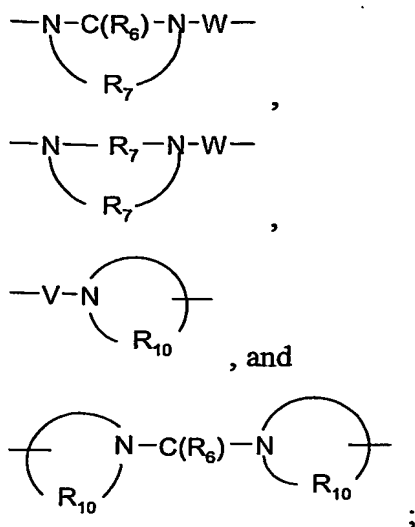
-R<sub>4</sub>,  
-X-R<sub>4</sub>,  
-X-Y-R<sub>4</sub>, and  
-X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

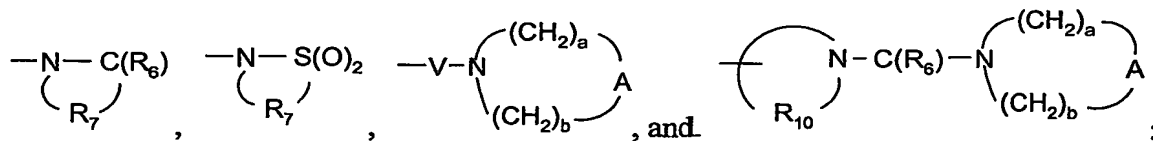
-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
-O-C(R<sub>6</sub>)-,  
-O-C(O)-O-,  
-N(R<sub>8</sub>)-Q-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





- 5  $R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group
- 10 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
- 15 oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is C<sub>2-7</sub> alkylene;

- 20  $R_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

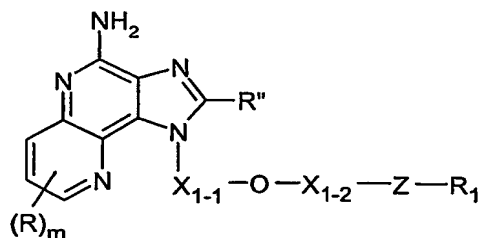
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

9. A compound of the Formula IV:



IV

wherein:

X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of -S-, -S(O)-, and -S(O)<sub>2</sub>-;

R<sub>1</sub> is selected from the group consisting of:

- C<sub>1-10</sub> alkyl,
- C<sub>2-10</sub> alkenyl,
- C<sub>2-10</sub> alkynyl,
- aryl,
- aryl-C<sub>1-10</sub> alkylenyl,
- aryloxy-C<sub>1-10</sub> alkylenyl,
- C<sub>1-10</sub> alkylarylenyl,
- heteroaryl,

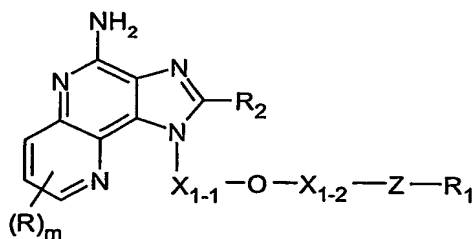
heteroaryl-C<sub>1-10</sub> alkylenyl,  
heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl,  
heterocyclyl,  
5 heterocyclyl-C<sub>1-10</sub> alkylenyl, and  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,  
aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,  
heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl  
10 substituted by one or more substituents independently selected from the  
group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,  
halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub>  
15 alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and  
trifluoromethyl;

m is 0 to 3; and

R" is hydrogen or a non-interfering substituent;  
or a pharmaceutically acceptable salt thereof.

10. A compound of the Formula IVa:



IVa

wherein:



$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

Z is selected from the group consisting of  $-S-$ ,  $-S(O)-$ , and  $-S(O)_2-$ ;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

$C_{2-10}$  alkenyl,

$C_{2-10}$  alkynyl,

aryl,

aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylarylenyl,

heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl,

heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl,

heterocyclyl,

heterocyclyl- $C_{1-10}$  alkylenyl, and

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl substituted by one or more substituents independently selected from the

group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,

halo- $C_{1-10}$  alkyl, halo- $C_{1-10}$  alkoxy, halogen, nitro, hydroxy, cyano, aryl, aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,

$C_{1-10}$  alkylamino, di( $C_{1-10}$  alkyl)amino, and in the case of  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, and heterocyclyl, oxo; wherein heteroaryl,

$C_{1-10}$  alkylheteroarylenyl, and heterocyclyl are attached to Z through a carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

m is 0 to 3;

$R_2$  is selected from the group consisting of

5                -R<sub>4</sub>,  
                    -X-R<sub>4</sub>,  
                    -X-Y-R<sub>4</sub>, and  
                    -X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

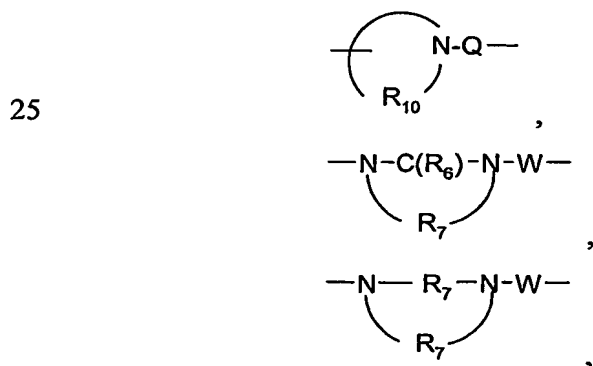
Y is selected from the group consisting of:

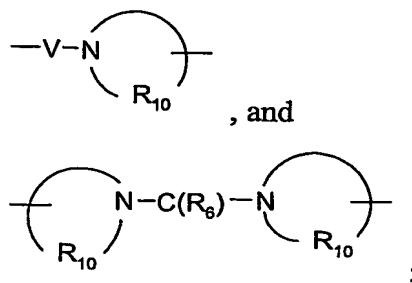
15

-O-,  
-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
-O-C(R<sub>6</sub>)-,

20

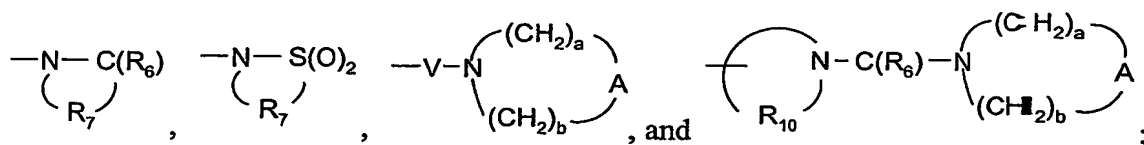
-O-C(O)-O-,  
-N(R<sub>8</sub>)-Q-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-.





R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl,  
 arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 5 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl,  
 alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted  
 or substituted by one or more substituents independently selected from the group  
 consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy,  
 10 mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy,  
 heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,  
 (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
 oxo;

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and  
 arylalkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and  
 -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-,  
 25 -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,

-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;  
or a pharmaceutically acceptable salt thereof.

- 5
11. The compound or salt of claim 9 or claim 10 wherein m is 0.
12. The compound or salt of any one of claims 3 through 8 wherein n is 0.
- 10 13. The compound or salt of any one of claims 1, 3, 6, 9, 11 as dependent on claim 9, or 12 as dependent on claim 3 or claim 6 wherein R" is hydrogen, alkyl, hydroxyalkylenyl, or alkoxyalkylenyl.
14. The compound or salt of claim 13 wherein R" is hydrogen, methyl, ethyl, propyl,  
15 butyl, 2-hydroxyethyl, hydroxymethyl, 2-methoxyethyl, or ethoxymethyl.
15. The compound or salt of any one of claims 2, 4, 5, 7, 8, 10, 11 as dependent on claim 10, or 12 as dependent on any one of claims 4, 5, 7, or 8 wherein R<sub>2</sub> is hydrogen, alkyl, hydroxyalkylenyl, or alkoxyalkylenyl.
- 20 16. The compound or salt of claim 15 wherein R<sub>2</sub> is hydrogen, methyl, ethyl, propyl, butyl, 2-hydroxyethyl, hydroxymethyl, 2-methoxyethyl, or ethoxymethyl.
17. The compound or salt of any one of claims 2, 4, 5, 7, 8, 10, 11 as dependent on  
25 claim 10, or 12 as dependent on any one of claims 4, 5, 7, or 8 wherein X is -(CH<sub>2</sub>)<sub>1-3</sub>-.
18. The compound or salt of any one of claims 1 through 17 wherein Z is -S(O)<sub>2</sub>-.
- 30 19. The compound or salt of any one of claims 1 through 17 wherein Z is -S(O)-.

20. The compound or salt of any one of claims 1 through 17 wherein Z is -S-.

21. The compound or salt of any one of claims 1 through 20 wherein R<sub>1</sub> is linear or branched C<sub>1-4</sub> alkyl, aryl, or 5 to 10 membered heteroaryl containing one or two heteroatoms, wherein the alkyl, aryl, or heteroaryl group may be unsubstituted or substituted with one or more substituents.

22. The compound or salt of claim 21 wherein R<sub>1</sub> is methyl, ethyl, 1-propyl, 2-propyl, 2-methylpropyl, 2-hydroxy-2-methylpropyl, phenyl, -4chlorophenyl, or 4-fluorophenyl.

23. The compound or salt of any one of claims 1 through 22 wherein X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from C<sub>2-7</sub> alkylene groups.

24. The compound or salt of claim 23 wherein X<sub>1-1</sub> is -(CH<sub>2</sub>)<sub>2-4</sub>-, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>2</sub>-, or -CH<sub>2</sub>-cyclic(CH<sub>2</sub>)<sub>3-6</sub>-.

25. The compound or salt of claim 23 or claim 24 wherein X<sub>1-2</sub> is -(CH<sub>2</sub>)<sub>2</sub>- or -(CH<sub>2</sub>)<sub>3</sub>-.

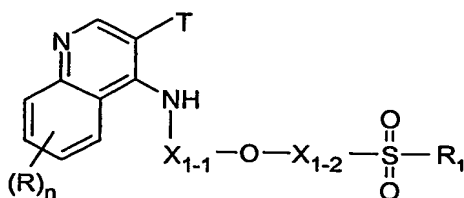
26. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 25 in combination with a pharmaceutically acceptable carrier.

27. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 25 to the animal.

28. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 25 to the animal.

29. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 25 to the animal.

30. A compound of Formula V:



V

wherein:

T is -NH<sub>2</sub> or -NO<sub>2</sub>;

X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

R<sub>1</sub> is selected from the group consisting of:

C<sub>1-10</sub> alkyl,

C<sub>2-10</sub> alkenyl,

C<sub>2-10</sub> alkynyl,

aryl,

aryl-C<sub>1-10</sub> alkylenyl,

aryloxy-C<sub>1-10</sub> alkylenyl,

C<sub>1-10</sub> alkylarylenyl,

heteroaryl,

heteroaryl-C<sub>1-10</sub> alkylenyl,

heteroaryloxy-C<sub>1-10</sub> alkylenyl,

C<sub>1-10</sub> alkylheteroarylenyl,

heterocyclyl,

heterocyclyl-C<sub>1-10</sub> alkylenyl, and

C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,

aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,

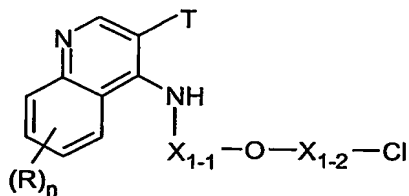
heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,  
 $C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl  
 substituted by one or more substituents independently selected from the  
 group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,  
 halo- $C_{1-10}$  alkyl, halo- $C_{1-10}$  alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
 aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
 $C_{1-10}$  alkylamino, di( $C_{1-10}$  alkyl)amino, and in the case of  $C_{1-10}$  alkyl,  $C_{2-10}$   
 alkenyl,  $C_{2-10}$  alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
 $C_{1-10}$  alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
 carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and  
 trifluoromethyl; and

n is 0 to 4;

or a pharmaceutically acceptable salt thereof.

31. A compound of Formula VI:



VI

wherein:

T is  $-NH_2$  or  $-NO_2$ ;

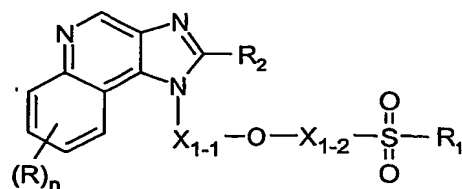
$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  
 $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms  
 of alkenylene and alkynylene are tetrahedral;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and  
 trifluoromethyl; and

n is 0 to 4;

or a pharmaceutically acceptable salt thereof.

32. A compound of Formula VIII:



VIII

wherein:

5  $X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

$R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

10  $C_{2-10}$  alkenyl,

$C_{2-10}$  alkynyl,

aryl,

aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,

15  $C_{1-10}$  alkylarylenyl,

heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl,

heteroaryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylheteroarylenyl,

20 heterocyclyl,

heterocyclyl- $C_{1-10}$  alkylenyl, and

$C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{2-10}$  alkynyl, aryl, aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,  $C_{1-10}$  alkylarylenyl, heteroaryl,

heteroaryl- $C_{1-10}$  alkylenyl, heteroaryloxy- $C_{1-10}$  alkylenyl,

25  $C_{1-10}$  alkylheteroarylenyl, heterocyclyl, and heterocyclyl- $C_{1-10}$  alkylenyl

substituted by one or more substituents independently selected from the group consisting of  $C_{1-10}$  alkyl,  $C_{1-10}$  alkoxy, hydroxy- $C_{1-10}$  alkyl,



halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl, aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino, C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl, C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

n is 0 to 4;

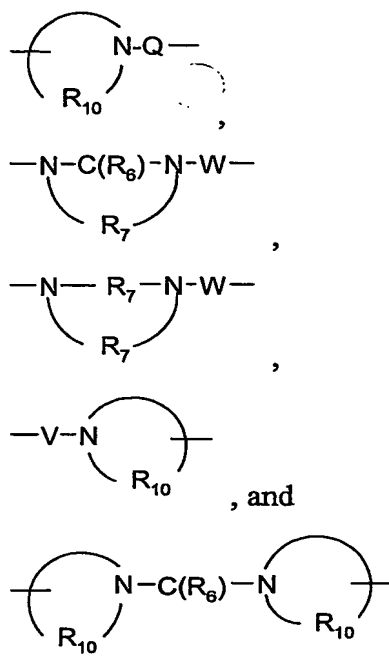
R<sub>2</sub> is selected from the group consisting of

-R<sub>4</sub>,  
-X-R<sub>4</sub>,  
-X-Y-R<sub>4</sub>, and  
-X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-O-,  
-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
-O-C(R<sub>6</sub>)-,  
-O-C(O)-O-,  
-N(R<sub>8</sub>)-Q-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



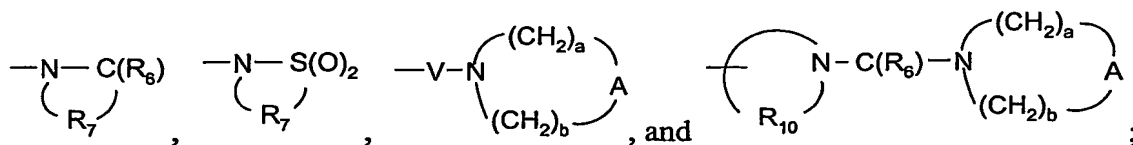
5

$\text{R}_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

10

15

$\text{R}_5$  is selected from the group consisting of:



$\text{R}_6$  is selected from the group consisting of  $=\text{O}$  and  $=\text{S}$ ;

20

$\text{R}_7$  is  $\text{C}_{2-7}$  alkylene;

$\text{R}_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-

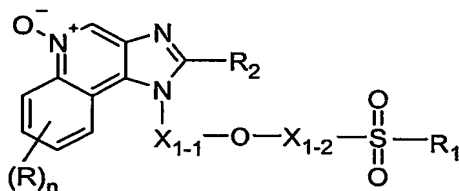
5 Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

10 a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ; or a pharmaceutically acceptable salt thereof.

33. A compound of Formula IX:



IX

wherein:

$X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

20  $R_1$  is selected from the group consisting of:

$C_{1-10}$  alkyl,

$C_{2-10}$  alkenyl,

$C_{2-10}$  alkynyl,

aryl,

25 aryl- $C_{1-10}$  alkylenyl,

aryloxy- $C_{1-10}$  alkylenyl,

$C_{1-10}$  alkylarylenyl,

heteroaryl,

heteroaryl-C<sub>1-10</sub> alkylenyl,  
heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl,  
heterocyclyl,  
5 heterocyclyl-C<sub>1-10</sub> alkylenyl, and  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub> alkynyl, aryl, aryl-C<sub>1-10</sub> alkylenyl,  
aryloxy-C<sub>1-10</sub> alkylenyl, C<sub>1-10</sub> alkylarylenyl, heteroaryl,  
heteroaryl-C<sub>1-10</sub> alkylenyl, heteroaryloxy-C<sub>1-10</sub> alkylenyl,  
C<sub>1-10</sub> alkylheteroarylenyl, heterocyclyl, and heterocyclyl-C<sub>1-10</sub> alkylenyl  
10 substituted by one or more substituents independently selected from the  
group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkoxy, hydroxy-C<sub>1-10</sub> alkyl,  
halo-C<sub>1-10</sub> alkyl, halo-C<sub>1-10</sub> alkoxy, halogen, nitro, hydroxy, cyano, aryl,  
aryloxy, heteroaryl, heteroaryloxy, heterocyclyl, amino,  
C<sub>1-10</sub> alkylamino, di(C<sub>1-10</sub> alkyl)amino, and in the case of C<sub>1-10</sub> alkyl, C<sub>2-10</sub>  
15 alkenyl, C<sub>2-10</sub> alkynyl, and heterocyclyl, oxo; wherein heteroaryl,  
C<sub>1-10</sub> alkylheteroarylenyl, and heterocyclyl are attached to Z through a  
carbon atom;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and  
trifluoromethyl;

20 n is 0 to 4;

R<sub>2</sub> is selected from the group consisting of

-R<sub>4</sub>,  
-X-R<sub>4</sub>,  
-X-Y-R<sub>4</sub>, and  
25 -X-R<sub>5</sub>;

X is selected from the group consisting of alkylene, alkenylene, alkynylene,  
arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and  
alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene,  
or heterocyclylene, and optionally interrupted by one or more -O- groups;

30 Y is selected from the group consisting of:

-O-,

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

-C(R<sub>6</sub>)-O-,

5

-O-C(R<sub>6</sub>)-,

-O-C(O)-O-,

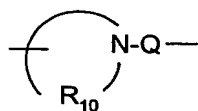
-N(R<sub>8</sub>)-Q-,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

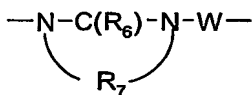
-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

10

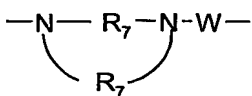
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



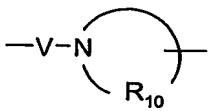
,



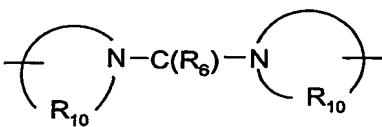
,



,



, and



;

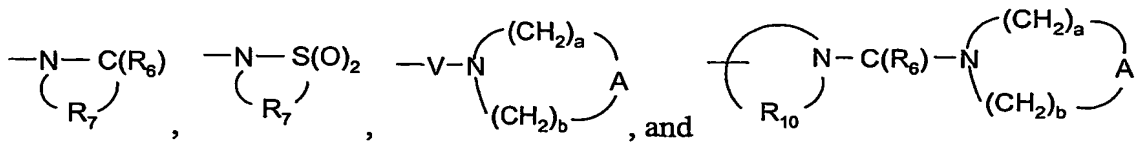
15

20

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,

(dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

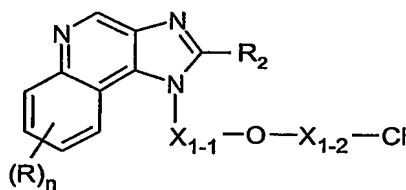
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7.

34. A compound of Formula XXIIIa:



XXIIIa

wherein:

X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

n is 0 to 4;

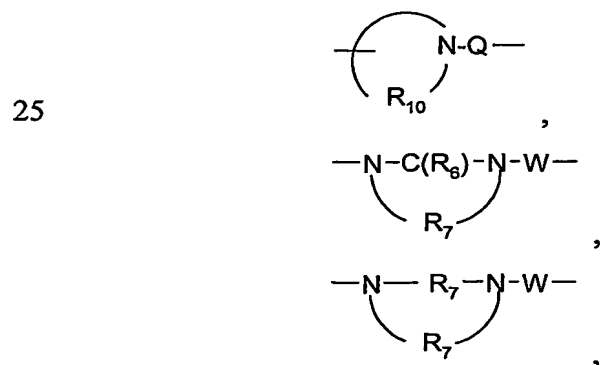
R<sub>2</sub> is selected from the group consisting of

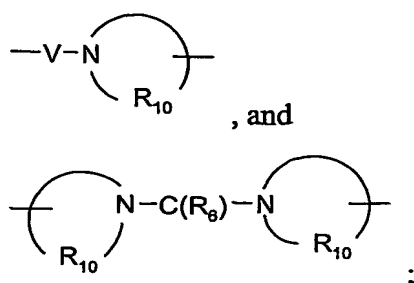
- 5                    -R<sub>4</sub>,  
                      -X-R<sub>4</sub>,  
                      -X-Y-R<sub>4</sub>, and  
                      -X-R<sub>5</sub>;

10                   X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

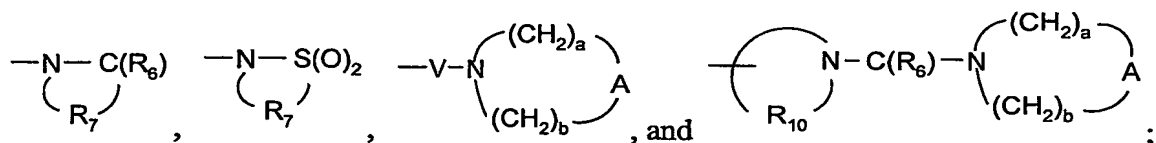
- 15                   -O-,  
                      -S(O)<sub>0-2</sub>-,  
                      -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-,  
                      -C(R<sub>6</sub>)-O-,  
                      -O-C(R<sub>6</sub>)-,  
 20                   -O-C(O)-O-,  
                      -N(R<sub>8</sub>)-Q-,  
                      -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

$A$  is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N( $R_4$ )-;

$Q$  is selected from the group consisting of a bond, -C( $R_6$ )-, -C( $R_6$ )-C( $R_6$ )-, -S(O)<sub>2</sub>-, -C( $R_6$ )-N( $R_8$ )-W-, -S(O)<sub>2</sub>-N( $R_8$ )-, -C( $R_6$ )-O-, and -C( $R_6$ )-N(OR<sub>9</sub>)-;

$V$  is selected from the group consisting of -C( $R_6$ )-, -O-C( $R_6$ )-,



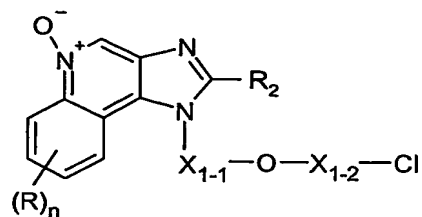
$-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

W is selected from the group consisting of a bond,  $-C(O)-$ , and  $-S(O)_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

5

35. A compound of Formula XXV:



XXV

wherein:

10  $X_{1-1}$  and  $X_{1-2}$  are independently selected from the group consisting of  $C_{1-10}$  alkylene,  $C_{4-10}$  alkenylene, and  $C_{4-10}$  alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

15 n is 0 to 4;

$R_2$  is selected from the group consisting of

$-R_4$ ,

$-X-R_4$ ,

$-X-Y-R_4$ , and

20  $-X-R_5$ ;

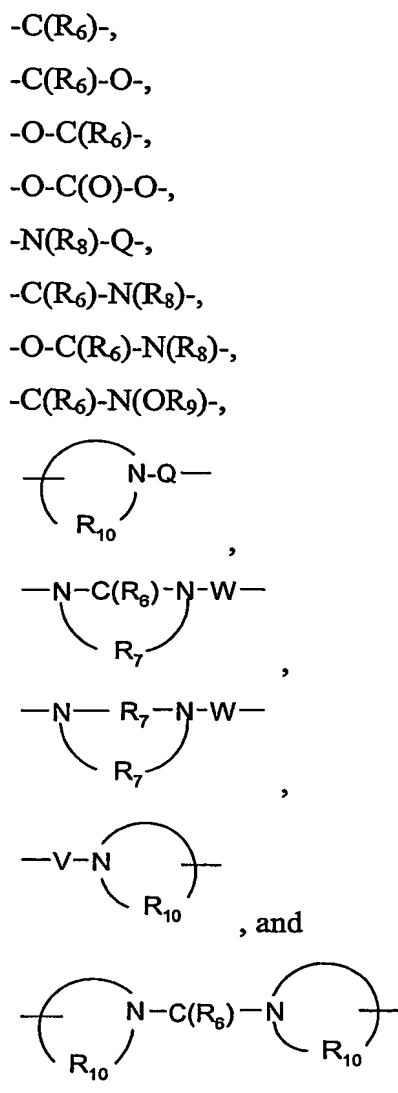
X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more  $-O-$  groups;

25 Y is selected from the group consisting of:

$-O-$ ,

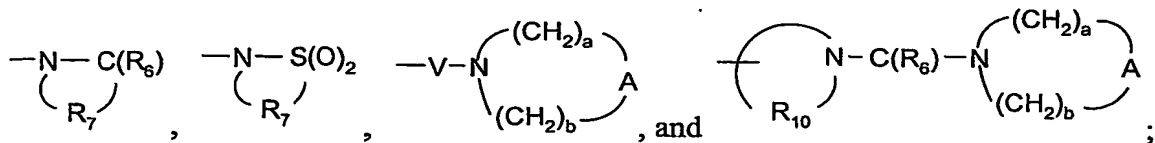
$-S(O)_{0-2}-$ ,

$-S(O)_2-N(R_8)-$ ,



$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

5 R<sub>8</sub> is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

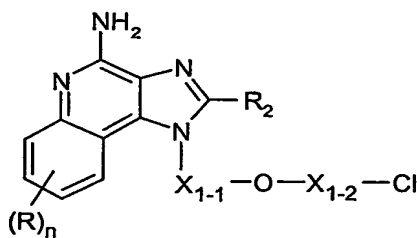
10 A is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

15 W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7.

36. A compound of Formula XXIVa:



XXIVa

wherein:

20 X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from the group consisting of C<sub>1-10</sub> alkylene, C<sub>4-10</sub> alkenylene, and C<sub>4-10</sub> alkynylene; wherein the terminal carbon atoms of alkenylene and alkynylene are tetrahedral;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, fluoro, and trifluoromethyl;

n is 0 to 4;

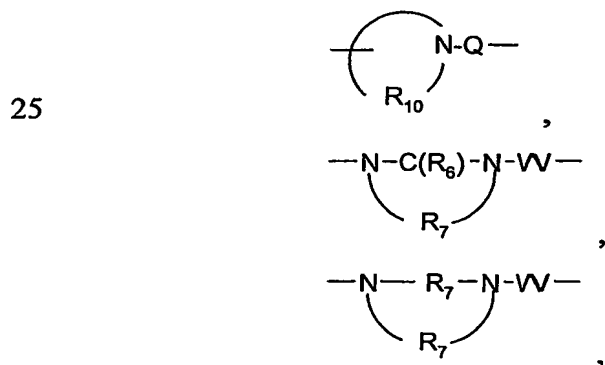
R<sub>2</sub> is selected from the group consisting of

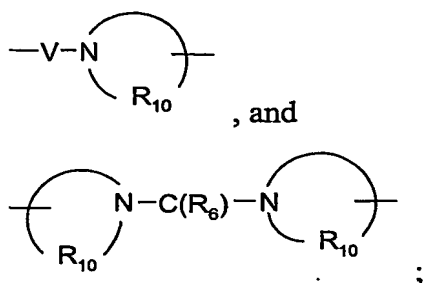
- 5                    -R<sub>4</sub>,  
                      -X-R<sub>4</sub>,  
                      -X-Y-R<sub>4</sub>, and  
                      -X-R<sub>5</sub>;

10                   X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

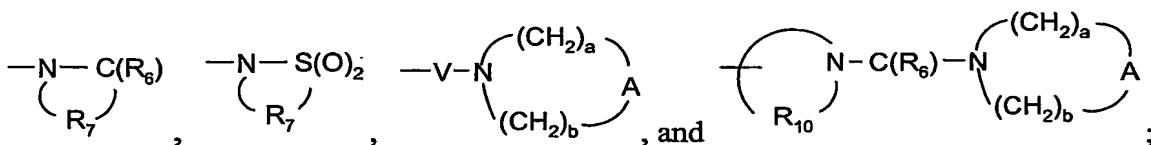
- 15                   -O-,  
                      -S(O)<sub>0-2</sub>-,  
                      -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-,  
                      -C(R<sub>6</sub>)-O-,  
                      -O-C(R<sub>6</sub>)-,  
 20                   -O-C(O)-O-,  
                      -N(R<sub>8</sub>)-Q-,  
                      -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
                      -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

$A$  is selected from the group consisting of -O-, -C(O)-, -S(O)<sub>0-2</sub>-, -CH<sub>2</sub>-, and -N( $R_4$ )-;

$Q$  is selected from the group consisting of a bond, -C( $R_6$ )-, -C( $R_6$ )-C( $R_6$ )-, -S(O)<sub>2</sub>-, -C( $R_6$ )-N( $R_8$ )-W-, -S(O)<sub>2</sub>-N( $R_8$ )-, -C( $R_6$ )-O-, and -C( $R_6$ )-N(OR<sub>9</sub>)-;

$V$  is selected from the group consisting of -C( $R_6$ )-, -O-C( $R_6$ )-,

-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;  
or a pharmaceutically acceptable salt thereof.

5

37. The compound or salt of any one of claims 30, 32, or 33 wherein R<sub>1</sub> is linear or branched C<sub>1-4</sub> alkyl, aryl, or 5 to 10 membered heteroaryl containing one or two heteroatoms, wherein the alkyl, aryl, or heteroaryl group may be unsubstituted or substituted with one or more substituents.

10

38. The compound or salt of any one of claims 32 through 36, or 37 as dependent on claim 32 or claim 33 wherein R<sub>2</sub> is hydrogen, alkyl, hydroxyalkylenyl, or alkoxyalkylenyl.

15

39. The compound or salt of any one of claims 30 through 38 wherein X<sub>1-1</sub> and X<sub>1-2</sub> are independently selected from C<sub>2-7</sub> alkylene groups.

40. The compound or salt of any one of claims 30 through 39 wherein n is 0.

20